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Terms	Documents
\$iodo\$\$uridine same radiosensitizer\$	9

**Database:**

US Patents Full-Text Database	▲
US Pre-Grant Publication Full-Text Database	
JPO Abstracts Database	
EPO Abstracts Database	
Derwent World Patents Index	
IBM Technical Disclosure Bulletins	▼

Refine Search:

\$iodo\$\$uridine same radiosensitizer\$

[Clear](#)**Search History****Today's Date: 9/24/2001**

<u>DB Name</u>	<u>Query</u>	<u>Hit Count</u>	<u>Set Name</u>
USPT,JPAB,EPAB,DWPI,TDBD	\$iodo\$\$uridine same radiosensitizer\$	9	<a href="#">L8</a>
USPT,JPAB,EPAB,DWPI,TDBD	\$iodo\$\$uridine same liposome\$	8	<a href="#">L7</a>
USPT,JPAB,EPAB,DWPI,TDBD	\$iodo\$\$uridine	745	<a href="#">L6</a>
USPT,JPAB,EPAB,DWPI,TDBD	l2 and diagnos\$	10	<a href="#">L5</a>
USPT,JPAB,EPAB,DWPI,TDBD	l1 and diagnos\$	104	<a href="#">L4</a>
USPT,JPAB,EPAB,DWPI,TDBD	l1 and radiosensitizer\$	1	<a href="#">L3</a>
USPT,JPAB,EPAB,DWPI,TDBD	l1 and ((424/450)!.CCLS. )	22	<a href="#">L2</a>
USPT,JPAB,EPAB,DWPI,TDBD	lipid adj1 derivatized adj3 hydrophilic	131	<a href="#">L1</a>

**WEST**[Generate Collection](#)**Search Results - Record(s) 1 through 22 of 22 returned.**☐ 1. Document ID: US 6200598 B1

L2: Entry 1 of 22

File: USPT

Mar 13, 2001

US-PAT-NO: 6200598

DOCUMENT-IDENTIFIER: US 6200598 B1

TITLE: Temperature-sensitive liposomal formulation

DATE-ISSUED: March 13, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Needham; David	Durham	NC	N/A	N/A

US-CL-CURRENT: 424/450; 424/1.21, 424/9.321, 424/9.51, 424/94.3

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMMC	Draw Desc	Image
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☐ 2. Document ID: US 6180134 B1

L2: Entry 2 of 22

File: USPT

Jan 30, 2001

US-PAT-NO: 6180134

DOCUMENT-IDENTIFIER: US 6180134 B1

TITLE: Enhanced ciruclation effector composition and method

DATE-ISSUED: January 30, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zalipsky; Samuel	Redwood City	CA	N/A	N/A
Woodle; Martin C.	Menlo Park	CA	N/A	N/A
Martin; Francis J.	San Francisco	CA	N/A	N/A
Barenholz; Yechezkel	Jersusalem	N/A	N/A	ILX

US-CL-CURRENT: 424/450; 530/319, 530/350

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMMC	Draw Desc	Image
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☐ 3. Document ID: US 6143321 A

L2: Entry 3 of 22

File: USPT

Nov 7, 2000

US-PAT-NO: 6143321

DOCUMENT-IDENTIFIER: US 6143321 A

TITLE: Liposomes containing active agents

DATE-ISSUED: November 7, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Needham; David	Durham	NC	N/A	N/A
Sarpal; Ranjit S.	Durham	NC	N/A	N/A

US-CL-CURRENT: 424/450; 424/1.21, 424/9.321, 424/9.51, 424/94.3

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KMIC	Draw Desc	Image
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☐ 4. Document ID: US 6133026 A

L2: Entry 4 of 22

File: USPT

Oct 17, 2000

US-PAT-NO: 6133026

DOCUMENT-IDENTIFIER: US 6133026 A

TITLE: Condensed plasmid-liposome complex for transfection

DATE-ISSUED: October 17, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Huang; Shi Kun	Castro Valley	CA	N/A	N/A
Oto; Edwin Kiyoshi	Redwood City	CA	N/A	N/A
Hassanipour; Mohammad	Vallejo	CA	N/A	N/A
Jin; Bei	Union City	CA	N/A	N/A

US-CL-CURRENT: 435/320.1; 424/417, 424/420, 424/450, 435/458, 435/69.1, 536/23.1

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KMIC	Draw Desc	Image
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☐ 5. Document ID: US 6126966 A

L2: Entry 5 of 22

File: USPT

Oct 3, 2000

US-PAT-NO: 6126966

DOCUMENT-IDENTIFIER: US 6126966 A

TITLE: Liposomes containing a cisplatin compound

DATE-ISSUED: October 3, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Abra; Robert M.	San Francisco	CA	N/A	N/A
Reis; Karen	San Jose	CA	N/A	N/A

US-CL-CURRENT: 424/450

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KWIC	Draw Desc	Image
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☐ 6. Document ID: US 6120798 A

L2: Entry 6 of 22

File: USPT

Sep 19, 2000

US-PAT-NO: 6120798

DOCUMENT-IDENTIFIER: US 6120798 A

TITLE: Liposome-entrapped polynucleotide composition and method

DATE-ISSUED: September 19, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Allen; Theresa M.	Edmonton	N/A	N/A	CAX
Stuart; Darrin D.	Edmonton	N/A	N/A	CAX

US-CL-CURRENT: 424/450; 435/458, 514/44, 536/23.1, 536/24.5

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KWIC	Draw Desc	Image
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☐ 7. Document ID: US 6103271 A

L2: Entry 7 of 22

File: USPT

Aug 15, 2000

US-PAT-NO: 6103271

DOCUMENT-IDENTIFIER: US 6103271 A

TITLE: Microencapsulation and electrostatic processing method

DATE-ISSUED: August 15, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Morrison; Dennis R.	Kemah	TX	N/A	N/A
Mosier; Benjamin	Houston	TX	N/A	N/A

US-CL-CURRENT: 424/490; 264/4.32, 264/4.33, 424/450, 424/489, 424/491, 424/497,  
424/498, 427/213.3, 428/402.21, 428/402.24, 514/772.3, 514/773

Full	Title	Citation	Front	Review	Classification	Date	Reference
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K00C	Draw Desc	Image
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☐ 8. Document ID: US 6099864 A

L2: Entry 8 of 22

File: USPT

Aug 8, 2000

US-PAT-NO: 6099864

DOCUMENT-IDENTIFIER: US 6099864 A

TITLE: In situ activation of microcapsules

DATE-ISSUED: August 8, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Morrison; Dennis R.	Kemah	TX	N/A	N/A
Mosier; Benjamin	Houston	TX	N/A	N/A

US-CL-CURRENT: 424/489; 264/4.1, 264/4.3, 264/4.32, 264/4.33, 424/423, 424/450,  
428/402.2, 428/402.21, 514/951

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Full	Title	Citation	Front	Review	Classification	Date	Reference
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K00C	Draw Desc	Image
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☐ 9. Document ID: US 6096720 A

L2: Entry 9 of 22

File: USPT

Aug 1, 2000

US-PAT-NO: 6096720

DOCUMENT-IDENTIFIER: US 6096720 A

TITLE: Liposomal oligonucleotide compositions

DATE-ISSUED: August 1, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Love; William Guy	Horsham	N/A	N/A	GBX
Nicklin; Paul Leslie	Henfield	N/A	N/A	GBX
Hamilton; Karen Ophelia	Lawrence	KS	N/A	N/A
Phillips; Judith Ann	Sevenoaks	N/A	N/A	GBX

US-CL-CURRENT: 514/44; 424/450, 435/183, 435/194, 435/325, 435/366, 435/371,  
435/375, 536/23.1, 536/24.31, 536/24.5

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Full	Title	Citation	Front	Review	Classification	Date	Reference
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K00C	Draw Desc	Image
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☐ 10. Document ID: US 6056973 A

L2: Entry 10 of 22

File: USPT

May 2, 2000

US-PAT-NO: 6056973

DOCUMENT-IDENTIFIER: US 6056973 A

TITLE: Therapeutic liposome composition and method of preparation

DATE-ISSUED: May 2, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Allen; Theresa M.	Edmonton	N/A	N/A	CAX
Uster; Paul	Tracy	CA	N/A	N/A
Martin; Francis J.	San Francisco	CA	N/A	N/A
Zalipsky; Samuel	Redwood City	CA	N/A	N/A

US-CL-CURRENT: 424/450; 436/829

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KIMC	Draw Desc	Image
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☐ 11. Document ID: US 6051251 A

L2: Entry 11 of 22

File: USPT

Apr 18, 2000

US-PAT-NO: 6051251

DOCUMENT-IDENTIFIER: US 6051251 A

TITLE: Liposome loading method using a boronic acid compound

DATE-ISSUED: April 18, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zalipsky; Samuel	Redwood City	CA	N/A	N/A
Uster; Paul S.	Tracy	CA	N/A	N/A
Zhu; George Z.	San Jose	CA	N/A	N/A

US-CL-CURRENT: 424/450; 264/4.1, 264/4.3

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KIMC	Draw Desc	Image
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☐ 12. Document ID: US 6043094 A

L2: Entry 12 of 22

File: USPT

Mar 28, 2000

US-PAT-NO: 6043094

DOCUMENT-IDENTIFIER: US 6043094 A

TITLE: Therapeutic liposome composition and method

DATE-ISSUED: March 28, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Martin; Francis J.	San Francisco	CA	N/A	N/A
Zalipsky; Samuel	Redwood City	CA	N/A	N/A
Huang; Shi Kun	Castro Valley	CA	N/A	N/A

US-CL-CURRENT: 435/458; 424/450, 435/375, 530/402, 530/403

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KWIC	Draw Desc	Image
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☐ 13. Document ID: US 5972379 A

L2: Entry 13 of 22

File: USPT

Oct 26, 1999

US-PAT-NO: 5972379

DOCUMENT-IDENTIFIER: US 5972379 A

TITLE: Liposome composition and method for administering a quinolone

DATE-ISSUED: October 26, 1999

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Guo; Luke S. S.	Lafayette	CA	N/A	N/A
Gittelman; Josh	Redwood City	CA	N/A	N/A
Zalipsky; Samuel	Redwood City	CA	N/A	N/A
Martin; Francis J.	San Francisco	CA	N/A	N/A

US-CL-CURRENT: 424/450; 264/4.1

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KWIC	Draw Desc	Image
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☐ 14. Document ID: US 5945122 A

L2: Entry 14 of 22

File: USPT

Aug 31, 1999

US-PAT-NO: 5945122  
DOCUMENT-IDENTIFIER: US 5945122 A

TITLE: Liposomes containing a cisplatin compound

DATE-ISSUED: August 31, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Abra; Robert M.	San Francisco	CA	N/A	N/A
Reis; Karen	San Jose	CA	N/A	N/A

US-CL-CURRENT: 424/450; 264/4.1, 264/4.3

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KIMC	Draw Desc	Image
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☐ 15. Document ID: US 5882679 A

L2: Entry 15 of 22

File: USPT

Mar 16, 1999

US-PAT-NO: 5882679

DOCUMENT-IDENTIFIER: US 5882679 A

TITLE: Liposomes containing active agents aggregated with lipid surfactants

DATE-ISSUED: March 16, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Needham; David	Durham	NC	N/A	N/A

US-CL-CURRENT: 424/450

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KIMC	Draw Desc	Image
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☐ 16. Document ID: US 5843473 A

L2: Entry 16 of 22

File: USPT

Dec 1, 1998

US-PAT-NO: 5843473

DOCUMENT-IDENTIFIER: US 5843473 A

TITLE: Method of treatment of infected tissues

DATE-ISSUED: December 1, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Woodle; Martin C.	Menlo Park	CA	N/A	N/A
Bakker-Woudenberg; Irma A.J.M.	Bergschenhoek	N/A	N/A	NLX
Martin; Francis J.	San Francisco	CA	N/A	N/A

US-CL-CURRENT: 424/450; 514/62, 514/78



Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw Desc	Image
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☐ 17. Document ID: US 5827533 A

L2: Entry 17 of 22

File: USPT

Oct 27, 1998

US-PAT-NO: 5827533

DOCUMENT-IDENTIFIER: US 5827533 A

TITLE: Liposomes containing active agents aggregated with lipid surfactants

DATE-ISSUED: October 27, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Needham; David	Durham	NC	N/A	N/A

US-CL-CURRENT: 424/450; 424/1.21, 424/9.32, 424/9.51

Full	Title	Citation	Front	Review	Classification	Date	Reference	KMIC	Draw Desc	Image
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☐ 18. Document ID: US 5827531 A

L2: Entry 18 of 22

File: USPT

Oct 27, 1998

US-PAT-NO: 5827531

DOCUMENT-IDENTIFIER: US 5827531 A

TITLE: Microcapsules and methods for making

DATE-ISSUED: October 27, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Morrison; Dennis R.	Kemah	TX	N/A	N/A
Mosier; Benjamin	Houston	TX	N/A	N/A

US-CL-CURRENT: 424/450; 264/4.32, 264/4.33, 424/451, 424/489, 424/490,  
427/213.3, 428/402.21, 428/402.24

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMIC	Draw Desc	Image
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☐ 19. Document ID: US 5389377 A

L2: Entry 19 of 22

File: USPT

Feb 14, 1995

US-PAT-NO: 5389377

DOCUMENT-IDENTIFIER: US 5389377 A

TITLE: Solid care therapeutic compositions and methods for making same

DATE-ISSUED: February 14, 1995

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Chagnon; Mark S.	Pelham	NH	N/A	N/A
Ferris; John R.	Newburyport	MA	N/A	N/A
Hamilton; Tracy J.	Salem	NH	N/A	N/A
Rudd; Edwin A.	Salem	NH	N/A	N/A
Carter; Michelle J.	Derry	NH	N/A	N/A

US-CL-CURRENT: 424/450; 424/490, 424/498, 424/600, 424/617, 424/630, 424/635,  
424/639, 424/641, 424/644, 424/646, 424/650, 428/402.24

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KWIC	Draw Desc	Image
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☐ 20. Document ID: US 5356633 A

L2: Entry 20 of 22

File: USPT

Oct 18, 1994

US-PAT-NO: 5356633

DOCUMENT-IDENTIFIER: US 5356633 A

TITLE: Method of treatment of inflamed tissues

DATE-ISSUED: October 18, 1994

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Woodle; Martin C.	Menlo Park	CA	N/A	N/A
Martin; Francis J.	San Francisco	CA	N/A	N/A
Huang; Shi K.	Castro Valley	CA	N/A	N/A

US-CL-CURRENT: 424/450; 424/423, 424/426, 514/863, 514/886

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KWIC	Draw Desc	Image
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☐ 21. Document ID: US 5225212 A

L2: Entry 21 of 22

File: USPT

Jul 6, 1993

US-PAT-NO: 5225212

DOCUMENT-IDENTIFIER: US 5225212 A

TITLE: Microreservoir liposome composition and method

DATE-ISSUED: July 6, 1993

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Martin; Francis J.	San Francisco	CA	N/A	N/A
Woodle; Martin C.	Menlo Park	CA	N/A	N/A
Redemann; Carl	Walnut Creek	CA	N/A	N/A
Yau-Young; Annie	Palo Alto	CA	N/A	N/A
Radhakrishnan; Ramachandran	Fremont	CA	N/A	N/A

US-CL-CURRENT: 424/450; 424/426, 424/78.31

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KWIC	Draw Desc	Image
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☐ 22. Document ID: US 5213804 A

L2: Entry 22 of 22

File: USPT

May 25, 1993

US-PAT-NO: 5213804

DOCUMENT-IDENTIFIER: US 5213804 A

TITLE: Solid tumor treatment method and composition

DATE-ISSUED: May 25, 1993

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Martin; Francis J.	San Francisco	CA	N/A	N/A
Woodle; Martin C.	Menlo Park	CA	N/A	N/A
Redemann; Carl	Walnut Creek	CA	N/A	N/A
Yau-Young; Annie	Palo Alto	CA	N/A	N/A

US-CL-CURRENT: 424/450; 424/426, 424/78.31

Full	Title	Citation	Front	Review	Classification	Date	Reference
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KWIC	Draw Desc	Image
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Terms	Documents
11 and ((424/450)!.CCLS.)	22

☐ Documents, starting with Document:

**Display Format:**

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L5: Entry 10 of 10

File: USPT

May 25, 1993

DOCUMENT-IDENTIFIER: US 5213804 A

TITLE: Solid tumor treatment method and composition

**ABPL:**

A liposome composition for localizing an anti-tumor compound to a solid tumor via the bloodstream. The liposomes, which contain the agent in entrapped form, are composed of vesicle-forming lipids and between 1-20 mole percent of a vesicle-forming lipid derivatized with hydrophilic biocompatible polymer, and have sizes in a selected size range between 0.07 and 0.12 microns. After intravenous administration, the liposomes are taken up by the tumor within 24-48 hours, for site-specific release of entrapped compound into the tumor. In one composition for use in treating a solid tumor, the compound is an anthracycline antibiotic drug which is entrapped in the liposomes at a concentration of greater than about 50 .mu.g agent/.mu.mole liposome lipid. The method results in regression of solid colon and breast carcinomas which are refractory to anthracycline antibiotic drugs administered in free form or entrapped in conventional liposomes.

**BSPR:**

The present invention relates to a liposome composition and method, particularly for use in tumor diagnostics and/or therapeutics.

**BSPR:**

It would be desirable, for extravascular tumor diagnosis and therapy, to target an imaging or therapeutic compound selectively to the tumor via the bloodstream. In diagnostics, such targeting could be used to provide a greater concentration of an imaging agent at the tumor site, as well as reduced background level of the agent in other parts of the body. Site-specific targeting would be useful in therapeutic treatment of tumors, to reduce toxic side effects and to increase the drug dose which can safely be delivered to a tumor site.

**BSPR:**

The invention includes, in one aspect, a liposome composition for use in localizing a compound in a solid tumor, as defined in Section IV below, via the bloodstream comprising: The liposomes forming the composition (i) are composed of vesicle-forming lipids, and between 1-20 mole percent of an vesicle-forming lipid derivatized with a hydrophilic polymer, and (ii) have an average size in a selected size range between about 0.07-0.12 microns. The compound is contained in the liposomes in entrapped form (i.e., associated with the liposome membrane or encapsulated within the internal aqueous compartment of the liposome).

**BSPR:**

(a) liposomes composed of vesicle-forming lipids and between 1-20 mole percent of a vesicle-forming lipid derivatized with a hydrophilic polymer,

**BSPR:**

In another aspect, the invention includes a method for localizing a compound in a solid tumor in a subject. The method includes preparing a composition of liposomes (i) composed of vesicle-forming lipids and between 1-20 mole percent of an vesicle-forming lipid derivatized with a hydrophilic polymer, (ii) having an average size in a selected size range between about 0.07-0.12 microns, and (iii) containing the compound in liposome-entrapped form. The composition is

injected IV in the subject in an amount sufficient to localize a therapeutically effective dose of the agent in the solid tumor.

DEPR:

FIG. 1 shows a general reaction scheme for preparing a vesicle-forming lipid derivatized with a biocompatible, hydrophilic polymer, as exemplified by polyethylene glycol (PEG), polylactic acid, and polyglycolic acid, all of which are readily water soluble, can be coupled to vesicle-forming lipids, and are tolerated in vivo without toxic effects. The hydrophilic polymer which is employed, e.g., PEG, is preferably capped by a methoxy, ethoxy or other unreactive group at one end or, alternatively, has a chemical group that is more highly reactive at one end than the other. The polymer is activated at one of its ends by reaction with a suitable activating agent, such as cyanuric acid, diimadozle, anhydride reagent, or the like, as described below. The activated compound is then reacted with a vesicle-forming lipid, such as a diacyl glycerol, including diacyl phosphoglycerols, where the two hydrocarbon chains are typically between 14-22 carbon atoms in length and have varying degrees of saturation, to produce the derivatized lipid. Phosphatidylethanol-amine (PE) is an example of a phospholipid which is preferred for this purpose since it contains a reactive amino group which is convenient for coupling to the activated polymers. Alternatively, the lipid group may be activated for reaction with the polymer, or the two groups may be joined in a concerted coupling reaction, according to known coupling methods. PEG capped at one end with a methoxy or ethoxy group can be obtained commercially in a variety of polymer sizes, e.g., 500-20,000 dalton molecular weights.

DEPR:

It will be appreciated that a variety of known coupling reactions, in addition to those just described, are suitable for preparing vesicle-forming lipids derivatized with hydrophilic polymers such as PEG, polylactic acid, polyglycolic acid or polylactic-polyglycolic copolymers. For example, the sulfonate anhydride coupling reagent illustrated in FIG. 4 can be used to join an activated polyalkylether to the hydroxyl group of an amphipathic lipid, such as the 5'-OH of cholesterol. Other reactive lipid groups, such as an acid or ester lipid group may also be used for coupling, according to known coupling methods. For example, the acid group of phosphatidic acid can be activated to form an active lipid anhydride, by reaction with a suitable anhydride, such as acetic anhydride, and the reactive lipid can then be joined to a protected polyalkylamine by reaction in the presence of an isothiocyanate reagent.

DEPR:

The liposomes of the present invention include 1-20 mole percent of the vesicle-forming lipid derivatized with a hydrophilic polymer, described in Section I. According to one aspect of the invention, it has been discovered that blood circulation halflives in these liposomes is largely independent of the degree of saturation of the phospholipid components making up the liposomes. That is, the phospholipid components may be composed of predominantly of fluidic, relatively unsaturated, acyl chains, or of more saturated, rigidifying acyl chain components. This feature of the invention is seen in Example 6, which examines blood/RES ratios in liposomes formed with PEG-PE, cholesterol, and PC having varying degrees of saturation (Table 4). As seen from the data in Table 5 in the example, high blood/RES ratios were achieved in substantially all of the liposome formulations, independent of the extent of lipid unsaturation in the bulk PC phospholipid, and no systematic trend, as a function of degree of lipid saturation, was observed.

DEPR:

The vesicle-forming lipid derivatized with a hydrophilic polymer is present in an amount preferably between about 1-20 mole percent, on the basis of moles of derivatized lipid as a percentage of total moles of vesicle-forming lipids. It will be appreciated that a lower mole ratio, such as 0.1 mole percent, may be appropriate for a lipid derivative with a large molecular weight polymer, such as one having a molecular weight of 100 kilodaltons. As noted in Section I, the hydrophilic polymer in the derivatized lipid preferably has a molecular weight between about 200-20,000 daltons, and more preferably between about 1,000-5,000

daltons. Example 7B, which examines the effect of very short ethoxy ether moieties on blood/RES ratios indicates that polyether moieties of greater than about 5 carbon ethers are required to achieve significant enhancement of blood/RES ratios.

DEPR:

It will be appreciated that the ability to localize a compound selectively in a tumor, by liposome extravasation, can also be exploited for improved targeting of an imaging agent to a tumor, for tumor diagnosis. Here the imaging agent, typically a radioisotope in chelated form, or a paramagnetic molecule, is entrapped in liposomes, which are then administered IV to the subject being examined. After a selected period, typically 24-48 hours, the subject is then monitored, for example by gamma scintillation radiography in the case of the radioisotope, or by nuclear magnetic resonance (NMR) in the case of the paramagnetic agent, to detect regions of local uptake of the imaging agent.

CLPV:

liposomes (i) composed of vesicle-forming lipids and between 1-20 mole percent of an amphipathic vesicle-forming lipid derivatized with a hydrophilic polymer selected from the group consisting of polyethyleneglycol, polylactic acid, polyglycolic acid and polylactic acid/polyglycolic acid copolymers, and (ii) having a selected mean particle diameter in the size range between about 0.07 to 0.12 microns, and

CLPV:

preparing a composition of liposomes (i) composed of vesicle-forming lipids and between 1-20 mole percent of an amphipathic vesicle-forming lipid derivatized with a hydrophilic polymer selected from the group consisting of polyethyleneglycol, polylactic acid, polyglycolic acid and polylactic acid/polyglycolic acid copolymers, said liposomes having a blood lifetime, as measured by the percent of a liposome marker present in the blood 24 hours after intravenous administration, which is several times greater than that of liposomes in absence of the derivatized lipids, (ii) having an average size in a selected size range between about 0.07-0.12 microns, and (iii) containing the compound in liposome-entrapped form,

CLPV:

entrapping the drug in liposomes (i) composed of vesicle-forming lipids and between 1-20 mole percent of an amphipathic vesicle-forming lipid derivatized with a hydrophilic polymer selected from the group consisting of polyethyleneglycol, polylactic acid, polyglycolic acid and polylactic acid/polyglycolic acid copolymers, said liposomes having a blood lifetime, as measured by the percent of a liposome marker present in the blood 24 hours after intravenous administration, which is several times greater than that of liposomes in absence of the derivatized lipids, and (ii) having an average size in a selected size range between about 0.07-0.12 microns at a concentration of entrapped drug of greater than about 50 .mu.g drug/.mu.mole liposome lipid, with at least about 80% of the drug entrapped in the liposomes, and

CCOR:

424/450

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L7: Entry 4 of 8

File: USPT

Sep 18, 1990

DOCUMENT-IDENTIFIER: US 4957735 A

TITLE: Target-sensitive immunoliposomes- preparation and characterization

## DEPR:

Potential use of the target-sensitive immunoliposomes as a site-specific drug delivery system depends on the following considerations. First, the target cell must express a sufficient antigen density to promote contact capping of the liposome following binding. Second, the drug released from liposomes at the cell surface should be rapidly taken up by the target cell. Cytotoxic and antiviral drugs of nucleoside analogs such as fluorodeoxyuridine, iododeoxyuridine, acyclovir or cytosine arabinoside are good choices (Plagemann and Wholhueter, Current Topics in Membrane and Transport, 14: 226-330 (1980)).



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L8: Entry 8 of 9

File: USPT

Mar 17, 1998

DOCUMENT-IDENTIFIER: US 5728684 A

TITLE: Determination of prodrugs metabolizable by the liver and therapeutic use thereof

## BSPR:

Iododeoxyuridine (IUdR) was synthesized as an anti-neoplastic agent in 1959 by Prusoff (Prusoff, W. H., (1959), Biochem. Biophys. Acta, 32, 295-296), and was the first thymidine analog clinically used as an anti-herpes agent (Kaufman, H. E., Martola, E. L. and Dohlman, C., (1962), Archs. Ophthalmol., 68, 235-239). The toxicities associated with IUdR when used systemically limited its clinical usage. IUdR was also recognized as a potential clinical radiosensitizer for cancer chemotherapy (Kinsella, T. J., Mitchell, J. B., Russo, A., Morstyn, G. and Glatstein, E., (1984), J. Radiation Oncology Biol. Phys., 10, 1399-1406). The degree of radiosensitization is directly dependent on the amount of thymidine replacement in DNA by this analog (Speth, P. A. J., Kinsella, T. J. Chang, A. E. Klecker, R. W., Belanger, K. and Collins, J. M., (1988), Clin. Pharmacol. Ther. 44, 369-375). Intrahepatic infusion of IUdR followed by radiation for the treatment of tumor cells in liver has had some success (Remick, S. C., Benson III, A. B., Weese, J. L., Willson, J. K. V., Tutsch, K. D., Fischer, P. H. and Trump, D. L., (1989), Cancer Res. 49 6437-6442).

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L8: Entry 1 of 9

File: USPT

Sep 18, 2001

US-PAT-NO: 6291425

DOCUMENT-IDENTIFIER: US 6291425 B1

TITLE: Compounds, methods and pharmaceutical compositions for treating cellular damage, such as neural or cardiovascular tissue damage

DATE-ISSUED: September 18, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Li; Jia-He	Cockeysville	MD	N/A	N/A
Zhang; Jie	Ellicott City	MD	N/A	N/A

## ASSIGNEE-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY	TYPE CODE
Guilford Pharmaceuticals Inc.	Baltimore	MD	N/A	N/A	02

APPL-NO: 9/ 387767

DATE FILED: September 1, 1999

INT-CL: [7] C07D 491/04, C07D 498/04, C07F 9/141, A61K 31/47, A61K 31/50

US-CL-ISSUED: 514/8D; 544/233, 544/232, 514/81, 514/80, 514/248

US-CL-CURRENT: 514/80; 514/248, 514/81, 544/232, 544/233

FIELD-OF-SEARCH: 544/233, 514/248

## PRIOR-ART-DISCLOSED:

## U.S. PATENT DOCUMENTS

Search Selected

Search ALL

PAT-NO	ISSUE-DATE	PATENTEE-NAME	US-CL
<input type="checkbox"/> <u>Re31617</u>	June 1984	Beverung, Jr. et al.	544/250
<input type="checkbox"/> <u>932290</u>	August 1909	Kacer et al.	N/A
<input type="checkbox"/> <u>1001325</u>	August 1911	Ullman et al.	N/A
<input type="checkbox"/> <u>1253252</u>	January 1918	Kardos et al.	N/A
<input type="checkbox"/> <u>1895105</u>	January 1933	Rath et al.	N/A
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<input type="checkbox"/> <u>3534038</u>	October 1970	Machatzke et al.	260/256.4
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ART-UNIT: 164

PRIMARY-EXAMINER: Raymond; Richard L.

ASSISTANT-EXAMINER: Liu; Hong

ATTY-AGENT-FIRM: Nixon & Vanderhye P.C.

ABSTRACT:

This invention relates to compounds, pharmaceutical compositions, and methods of using the disclosed compounds for inhibiting PARP.

3 Claims, 2 Drawing figures